L Number	Hits	Search Text	DB	Time stamp
1	9737	,,,,,,,	USPAT	2004/10/05 14:54
		546/275.4, 546/275.7, 544/124, 544/360,		
		514/235.5, 514/253.01, 514/303, 514/314,		
_		514/333, 514/338, 514/340		
2	8289		USPAT	2004/10/05 14:55
3	33	(546/119, 546/143, 546/153, 546/159,	USPAT	2004/10/05 14:55
		546/255, 546/275.4, 546/275.7, 544/124,		
		544/360, 514/235.5, 514/253.01, 514/303,		.
		514/314, 514/333, 514/338, 514/340) and		
		(GSK\$ or Aurora\$)		



## PALM INTRANET

Day: Tuesday Date: 10/5/2004

Time: 14:50:30

## **Inventor Information for 10/736426**

BEBBINGTON, DAVID  CHARRIER, JEAN-DAMIEN  WANTAGE  UNITED KINGDOM  Appln Info  Contents  Petition Info  Atty/Agent/Info  Gontinuity Data  Foreign Data  Search Another: Application#  Search  Or Patent#  PCT / / Search  Or PG PUBS #	City	State/Country
Appln Info   Contents   Petition Info   Atty/Agent Info   Continuity Data   Foreign Data  Search Another: Application#   or Patent#   Search    PCT / Search   or PG PUBS #	NEWBURY	UNITED KINGDOM
Search Another: Application# or Patent# Search Or PG PUBS #	WANTAGE	UNITED KINGDOM
Search Another: Application# or Patent# Search Or PG PUBS #	Atty/Agent Info	Continuity Data Foreign Data
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To go back use Back button on your browser toolbar.

Back to PALM | ASSIGNMENT | OASIS | Home page

Broad Search

10/736,426

Page 3

Match level :

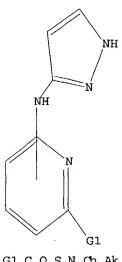
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 14:CLASS 15:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1



G1 C, O, S, N, Cb, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 13:53:31 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 817 TO ITERATE

100.0% PROCESSED 817 ITERATIONS 5 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

14626 TO 18054

PROJECTED ANSWERS:

5 TO 234

L2 5 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 13:53:38 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 16180 TO ITERATE

100.0% PROCESSED 16180 ITERATIONS 77 ANSWERS

SEARCH TIME: 00.00.01

77 SEA SSS FUL L1

Habte

L<sub>3</sub>

10/736,426

Page 4

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE ENTRY

TOTAL SESSION

FULL ESTIMATED COST

155.42 155.63

FILE 'CAPLUS' ENTERED AT 13:53:44 ON 05 OCT 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 5 Oct 2004 VOL 141 ISS 15 FILE LAST UPDATED: 4 Oct 2004 (20041004/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 L4 13 L3

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L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:370926 CAPLUS
140:391292
TITLE: Preparation of indazolinone compositions useful as kinase inhibitors
INVENTOR(S): Alex: Lauffer, David J.; Li, Huan Qui; Tomlinson, Ronald Charles; Li, Pan Vertex Pharmaceuticals Incorporated, USA PCT Int. Appl., 260 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 337814 Al 20040506 MO 2003-US34065 20
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ,
FL, TT, RY, CR, CS, SE, SG, SK, SL, TJ, TM, TN, TR, TU,
UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, 20031027 WO 2004037814 20031027
CA, CH, CN.
GD, GE, GH,
LC, LK, LR,
NZ, OM, PH,
TT, TZ, UA,
MD, RU, TJ, 

OTHER SOURCE(S):

The present invention provides compds. of formula (I). [Wherein R1, R2 H or a nitrogen protecting group; one of R3 or R4  $\sigma$  R and the other one (Wherein R1, R2 = of

R3 or R4 = -Q1-A-Q2-Y; wherein Q1 = a valence bond, NRa, C(Ra)2, S, O, SO2, NRaSO2, SO2NRa, CO, NRaCO, CONRa, OC(O), C(O), O.C(O)NRa, 1,2-cyclopropanediyl, 1,2-cyclobutanediyl, or 1,3-cyclobutanediyl, optionally substituted C2-4 alkylidene, etc.; wherein Ra = H, each

ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 685867-15-6 CAPILUS
3H:Indazol-3-one, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-3-nitro-2-pyridinyl]amino]-1,2-dihydro- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 685867-16-7 CAPLUS
CN 3H-Indazol-3-one, 6-[[5-amino-6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-2pyridinyl)amino]-1,2-dihydro- [9CI) (CA INDEX NAME)

ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) optionally substituted C1-4 aliph.; A = optionally substituted 5-to 7-membered monocyclic or 8- to 10-membered bicyclic aryl. heteroaryl, heterocyclic, carbocyclic ring, or C2-6 alkylidene, etc.; Q2 = NRC, S0,

or C(Rc)2; wherein Rc=H, optionally substituted C1-4 aliph.; Y=each optionally substituted 5- to 7-membered monocyclic or 8- to 10 membered bicyclic aryl, heteroaryl, heterocyclic, or carbocyclic ring, R5=R; Z=N, CR6; wherein R6=R; R=H, halo, Q-halogen, cyano, Q-CN, NO2, Q-NO2, R7, Q-R7, Q-R7, Q-R7, alkylidene; wherein noe or more methylene units of Q is optionally replaced by Q, S, NR7, NR7CO, NR7, NR7CO, NR7, NR7CO, NR7, NR7CO,

methylene units of Q is Optionarly replaced by G. S. SAK, SKYLO, ONRY, NRTCO2, CO, CO2, CONRY, OC(O)NRY, SO2, SO2NRY, NRTSO2, NRTSO2NRY, NRTCO2, CO, COC(C)C(O), or C(O)C(RY)2C(O); wherein RY = H, each optionally substituted aliph, heteroaliph, aryl or heteroaryll. The compde. I and pharmaceutically acceptable compns. thereof, are useful generally as protein kinase inhibitors, particularly as inhibitors of protein kinase PRAK, protein kinase GSK3, protein kinase ERK2, protein kinase COK2, MAP kinase-activated protein kinase 2 (MK2), SRC kinase, protein kinase SYK, and protein kinase Aurora-2. Accordingly, the compde. I and compns. of the invention are useful for treating or lessening the severity of a disease or condition selected from cardiovascular disease, diabetes, inclammatory diseases, allergic diseases, autoimmune diseases, inflammatory diseases, allergic diseases, autoimmune diseases, NR7CONR7

shown to have Ki of <0.1 µM for GSK-3 and Aurora-2 and <1.0 µM for CDK-2, ERK2, PRAK, SRC, SYK, and MK2.

658867-13-4P, 6-[[6-[[5-cyclopropyl-1H-pyrazol-3-yl]amino]-5-nitropyridin-2-yl]amino]-1,2-dihydroindazol-3-one 685867-15-6P,

6-[[6-[6-[5-(5-cyclopropyl-1H-pyrazol-3-yl]amino]-3-nitropyridin-2-yl]amino]-1,2-dihydroindazol-3-one 685867-16-7P, 6-[[5-Amino-6-[[5-

cyclopropyl-1H-pyrazol-3-yl)amino]pyridin-2-yl]amino]-1,2-dihydroindazol-3-one

One
Ri. PAC (Pharmacological activity); SPN (Synthetic preparation); TRU
(Therapeutic use); BIOL (Biological study); PREP (Preparation), USES
(Uses)

(preparation of indazolinone derivs, as kinase inhibitors for

(preparation of allegarians)
treating or conditions)
RN 665867-13-4 CAPLUS
CN 3H-Indazol-3-one, 6-[(6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-5-nitro-2-pyridinyl]amino]-1,2-dihydro- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

ANSWER 2 OF 13 CAPLUS COPYRIGHT 2004 ACS On STN ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

LUS COPYRIGHT 2004 ACS on STN
2004:220119 CAPLUS
140:253562
Preparation of aminoindazoles as protein Tau
phosphorylation inhibitors, their drugs and
pharmaceutical compositions containing them for
treatment, in particular, of central and peripheral
nervous system diseases
Lesuisse. Dominique; Dutruc-Rosset, Gilles; Halley,
Franck; Babin, Didder; Rooney, Thomas
Aventis Pharma S.A., Fr.
PCT Int. Appl.. 71 pp.
CODEN: PIXXD2
Patent

INVENTOR (S):

PATENT ASSIGNEE(S):

SOURCE:

Patent

French

PATENT INFOR		JNT:	2												
	NO.								CAT					ATE	
WO 2004 WO 2004	022544		A1		2004	0318								0030	
₩:	AE, AG, CO, CR, GM, HR,	CU.	CZ,	DE,	DK, IN,	DM, IS,	DZ, JP,	EC, KE,	ΕE, KG,	ES, ΚΡ,	PI, KR,	GB, KZ,	GD, LC,	GE, LK,	GH,
	LS, LT, PG, PH, TR, TT, KZ, MD,	PL,	PT, UA,	RO,	RU,	sc,	SD,	SE,	SG,	sĸ,	SL,	SY.	TJ,	TM,	TN,
RW:	GH, GM, CH, CY, NL, PT, GW, ML	CZ,	DE, SE,	DK, SI,	EE, SK,	ES, TR,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,
FR 2844 PRIORITY APP	267													0020	
							,	US 2	002-	1199	65P	1	P 2	0021	322

OTHER SOURCE(S):

MARPAT 140:253562

ANSWER 2 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
peripheral nervous system diseases)
670749-54-9 CAPLUS
1H-Indazol-3-amine, 6-chloro-N-(6-methoxy-2-pyridinyl)-5-phenyl- (9CI)
(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE REFERENCE COUNT: 5 THERE ARE S CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 2 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Title compds. I [wherein R3 = CONH2 and derivs., CO2H and derivs., SO2H and derivs., HC(:NH) and derivs., C(:NH)NH and derivs., (un)substituted aryl/heteroaryl/heterocyclo/cyclo/polycyclo/alkyl, hetero/aryl, fused

aryl/neteroaryl/neterocyclyl, adamantyl, alkenyl, alkynyl; R2, R3 = independently halo, CN. NO2, NH2, OH, CO2H and derive., NH2 and derive., CONH2 and derive., S1 and derive., COH2 and derive., S1 and derive., COH2 and derive., COH2 and derive., COH2, aryl/neteroaryl/cyclo/palkyl, alkony, hetero/aryl, heterocyclyl, alkenyl, alkynyl, adamantyl, etc.; and their racemates, enantiomers, disattereomers, mixts., tautomers and pharmaceutically acceptable saltel were prepared as protein Tau phosphorylation inhibitors.

Three standard pharmaceutical compns. are given. For example, II was prepared, in 7 steps, by acylation of 3-amino-6-chloro-1H-indazole with butyryl chloride, protection with [2-(trimethylsilyl)ethoxylmethyl chloride, bromination, Pd-cross coupling of the bromide with phenylboronic acid, amide hydrolysis, reductive alkylation of the 3-aminoindazole intermediate and deprotection. Selected invention compds. I inhibited phosphorylation

rmediate
and deprotection. Selected invention compde. I inhibited phosphorylation
of protein Tau with an ICSO < 100 µM. Thus, I and their pharmaceutical
compne. are useful as kinase inhibitors and for treatment, in particular,
of central and peripheral nervous system diseases (no data).
670749-54-99, (6-Chloro-5-phenyl-1H-indazol-3-yl)(6-methoxypyridin2-vllaming.

2-yl)amine RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(inhibitor of protein Tau phosphorylation; preparation of aminoindazoles as

protein Tau phosphorylation inhibitors for treatment of central and

L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2002:736153 CAPLUS DOCUMENT NUMBER: 137:247690

TITLE: Preparation of bisarylamines as potassium channel openers

openers McNaughton-Smith, Grant A.; Amato, George S. Icagen, Inc., USA PCT Int. Appl., 73 pp. CODEN: PIXXD2 INVENTOR (S) :

PATENT ASSIGNEE(S): SOURCE:

English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.																		
							-									-		
	WO	2002	0743	88		A1		2002	0926	1	WQ 2	002-	US77	44		2	0020	315
		W:	AE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA.	CH.	CN.
								DK,										
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								YU,										
			TJ,												,	,	,	,
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ.	UG.	ZM.	ZW.	AT.	BE.	CH.
								FR,										
								CM,										
	US	2002						2002										
	US	6593	349			B2		2003										
	GB	2390	091			A1		2003	1231		GB 2	003-	2367	6		2	0020	315
PRIO	RIT	/ APP	LN.	INFO	. :						US 2							
										1	US 2	002-	9561	7		A 2	0020	311
											WO 2	002-	US77	44		W 2	0020	315

OTHER SOURCE(S):

MARPAT 137:247690

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The title compda. [I; ring A = (un)aubstituted aryl, 5-6 membered heteroaryl; ring C = II-III (wherein Z = NRO, S, O: D = N, CR1; Y = halo, R2, OR2; R0-R2 = H, alkyl); X = NR3, O, S; R3 = H, SO2R4, alkyl; cycloalkyl; R4 = alkyl, cycloalkyl], useful in the treatment of diseases through the modulation of potassium ion flux through voltage-dependent potassium channels, were prepared Thus, reacting benzoxazole IV with phenethylamine in DMSO afforded 57% V. Representative compds. I showed ECSO values from about 5 nM to about 10 M in KCNG potassium channel screening assay. More particularly, the invention provides

screening assay. More particularly, —
biasylamines,
compns. and methods that are useful in the treatment of central or
peripheral nervous system disorders (e.g., migraine, ataxia, Parkinson's
disease, bipolar disorders, trigeminal neuralgia, spasticity, mod
disorders, brain tumors, psychotic disorders, myokymia, setzures,
epilepsy, hearing and vision loss, Alzheimer's disease, age-related
memory

ANSMER 3 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) loss, learning deficiencies, anxiety and motor neuron diseases) and as neuroprotective agents (e.g., to prevent stroke and the like) by opening potassium channels assocd. With the onset or recurrence of the indicated 461043-70-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Unen)

(preparation of bisarylamines as potassium channel openers) 461043-70-9 CAPLUS

1H-Indazol-3-amine, 7-fluoro-N-(6-methyl-3-pyridinyl)- (9CI) (CA INDEX

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) ZA 2003-1697 ZA 2003-1699 ZA 2003-1702 ZA 2003001697 ZA 2003001699 ZA 2003001702 ZA 2003001704 20040301 20040301 20030228 20030228 20040301 ZA 2003-1702 ZA 2003-1704 ZA 2003-1698 NO 2003-1188 NO 2003-2704 US 2003-692355 US 2003-722374 US 2003-736426 US 2004-775699 US 2004-775699 US 2000-232795P 20030228 20040301 20030228 ZA 2003001704 ZA 2003001698 NO 2003001188 NO 2003002704 US 2004116454 US 2004157893 US 2004132781 20040302 20030228 20030513 20030314 20030821 20030613 20040617 20040812 20040708 20040826 20031023 20031125 20031215 US 2004167141 PRIORITY APPLN, INFO. 20040210 20000915 US 2000-257887P P 20001221 P 20010427 A3 20010914 WO 2001-US42152 US 2001-26966 WO 2001-US49139 WO 2001-US50312 W 20011219 US 2001-34019 A3 20011220 US 2001-34683 A1 20011220 OTHER SOURCE(S): MARPAT 136:247584

Habte

Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph. pyridinyl, pyridinyl, pyridizinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heteroaryl, heteroaryl, heterocyclyl; or carbocyclyl; 21 = N or CR9; Z2 = N or CR1; Z3 = N or CR5; Z4 = N or CR9; Z4 = N or CR5; Z4 = N or Z65; Z6 = Z65; Z6 =

having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a =

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2002:220584 CAPLUS 136:247584 DOCUMENT NUMBER:

Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, TITLE:

and Alzheimer's disease INVENTOR (S):

and Alzheimer's disease
Bebbinston, David; Knegtel, Ronald; Golec, Julian M.
C.; Li, Pan: Davies, Robert; Charrier, Jean-Damien
Vertex Pharmaceuticals Incorporated, USA
PCT Int. Appl., 356 pp.
CODEN: PIXXD2
Patent PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE: Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA:	TENT NO.			KIN	D	DATE			APPI	LICAT	ION	NO.		D	ATE	
	20020226															
	W: AE,	AG,	AL,	AM,	AT.	ΑU,	AZ,	BA,	BB,	, BG,	BR,	BY,	BZ,	CA,	CH,	CN,
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	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	, MW,	MX,	MZ,	NO,	NZ,	PH,	PL,
	Tq.	RO,	RU,	SD.	SE,	SG,	SI,	sĸ,	SL	, TJ,	TM,	TR,	TT.	TZ,	UA,	UG,
	US,	UZ,	VN,	YU,	ZA,	ZW,	AM,	AZ,	BY	, KG,	KZ,	MD,	RU,	TJ,	TM	
	RW: GH,															
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	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	, ML,	MR,	NE,	SN,	TD,	TG	
ΑU	20010968 20030550 6638926 20030649	71		A5		2002	0326	- 7	AU 2	2001-	9687	1		2	0010	914
US	20030550	44		A1		2003	0320	1	US 2	2001-	9535	05		2	0010	914
US	6638926			B2		2003	1028									
US	20030649	91		A1		2003	0403	1	us a	2001-	9528	36		2	0010	914
υs	6613776			В2		2003	0902									
US	20030649	82		A1		2003	0403	1	us :	2001-	9528	75		2	0010	914
US	20030649 6613776 20030649 20030736 6660731 20030781 6696452	87		A1		2003	0417	1	us :	2001-	9526	71		2	0010	914
JS	6660731			B2		2003	1209									
JS	20030781	66		A1		2003	0424	1	ບຣ 2	- 1001	9556	01		21	0010	914
JS	6696452 200308333 6610677			B2		2004	0224									
JS	20030833	27		A1		2003	0501	- 1	us :	2001-	9528	33		2	0010	914
JS	6610677			B2		2003	0826									
ЕP	1317452			A1		2003	0611	,	EP 2	2001 -	9777	79		21	0010	914
	R: AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
	IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
ZA	20030017	01		Α		2004	0301		ZA 2	2003-	1701			2	0010	914
ZA	20030017	03		A		2004	0302	:	ZA 2	- 2003	1703			26	0010	914
JΡ	20045091 20040975 1345922	18		T2		2004	0325		JP 2	2002-	5268	61		2	0010	914
US	20040975	01		A1		2004	0520	1	US 2	2001-	9534	71		21	0010	914
ΕP	1345922			A1		2003	0924	1	EP 2	2DO1-	2710	61		21	0011:	219
	R: AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PΤ,
						RO,										
EΡ	1355905															
	R: AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
	IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
ΝZ	526472 20045187 20045194			A		2004	0430	1	NZ 2	2001-	5264	72		2(	0011	219
JP.	20045187	43		T2		2004	0624		JP 2	2002 -	5659	76		21	0011	219

ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (un) substituted fused ring contg. D-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)20, C(R6)250-2, C(R6)2NR6, CO, CO2, CR60CO, CR60CONR6, C(R6)2NR6CO, C(R6)2NR6COA, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6CONR6, Or CONR6; R = H or (un) substituted aliph., (heterojaryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2,

, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2N, Or OCON(R4)2; R4 = R7, COR7, CO2(aliph.),

NR4CO(R, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO1(a)2, NR4CO2(Aliph.), CON(R7)2, OR NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph, group; or N(R6)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I (wherein Z1 = CR9; Z2 and Z3 = N; Z4 = CRyl. Examples include data for approx. 300 invention compde. prepd. by a variety of synthetic methods and bloassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)pyrazolamine II was prepd. and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT 404836-24-09 404836-25-19, (5-Methyl-2H-pyrazol-3-yl)(3-phenylisoquinolin-1-yl)smine
RL: PAC (Pharmacological activity); RCT (Reactsnt); SPN (Synthetic preparation); RACT (Reactant or reagent); USES (Uses) (protein kinase inhibitor: preparation of heterocyclylpyrazolamines and

analogs as protein kinase inhibitors for treatment of cancer,

diabetes,
and Alzheimer's disease)
RN 404826-24-0 CAPLUS
CN 4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)

404826-25-1 CAPLUS 1-Isoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

17 404829-63-69, (1H-Indazol-3-yl)[3-(2-trifluoromethylphenyl)imoquin
oline-1-yl]amine 404839-65-89, (5,7-Difluoro-1H-indazol-3-yl)[3-(2-trifluoromethylphenyl)imoquinin-1-yl]amine 404829-65-9,
(1H-Indazol-3-yl)[2-phenylquinolin-4-yl]amine 404829-67-09,
(2-Phenylquinolin-4-yl)[1H-pyrazolo[4,3-b]pyridin-3-yl)amine
404829-68-1P, (1H-Indazol-3-yl)[2-2-trifluoromethylphenyl]quinolin-4-yl]amine 404829-70-5P,
(2-2-Trifluoromethylphenyl)quinolin-4-yl](1H-pyrazolo[4,3-b]pyridin-3-yl)
mine
RE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines

analogs as protein kinase inhibitors for treatment of cancer,

analogs as protein kinase inhibitors for treatment of cancer, diabetes,
and Alzheimer's disease)
RN 404829-63-6 CAPLUS
1-Isoquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl](9CI)

(CA INDEX NAME)

ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

404829-68-1 CAPLUS 4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

404829-69-2 CAPLUS 4-Quinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-2-[2-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

404829-70-5 CAPLUS
4-Quinolinamine, N-1H-pyrazolo(4,3-b)pyridin-3-yl-2-{2-{trifluoromethyl}phenyl}- (9CI) (CA INDEX NAME)

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L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-65-8 CAPLUS CN 1-Isoquinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-66-9 CAPLUS
CN 4-Quinolinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

404829-67-0 CAPLUS 4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

FORMAT

L4 ANSWER S OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
11TLE:
2002:220583 CAPLUS
136:247583
Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
Davies, Robert; Bebbington, David; Knegtel, Ronald; Wannamaker, Marion; Li, Pan; Forester, Cornelia; Pierce, Albert; Kay, David
Vertex Pharmaceuticals Incorporated, USA PCOMENT TYPE:
DOCUMENT TYPE:
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
LANGUAGE:
English
English FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE			APPI	ICAT	ION	NO.		D	ATE	
wo	2002	0226	07		A1		2002	0321	,	WO 2	001-	US28	940		2	0010	914
	W:	ΑĒ,	AG,	AL,	AM,	AT,	AU.	AZ.	BA.	BB.	BG,	BR.	BY.	BZ.	CA.	CH.	CN
		CO,	CR,	CU,	CZ,	DE,	DK,	DM.	DZ,	EC.	EE,	ES.	FI.	GB.	GD.	GE.	GH.
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP.	KR.	KZ.	LC.	LK.	LR.
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK.	MN,	MW,	MX.	MZ.	NO.	NZ.	PH.	PI.
		PT,	RO,	RU,	SD,	SE,	SG,	SI.	SK.	SL.	TJ,	TM.	TR.	TT.	TZ.	UA.	UG.
		US,	UZ,	VN,	YU,	ZA,	ZW,	AM,	AZ,	BY.	KG,	KZ.	MD.	RU.	TJ.	TM	,
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL.	SZ.	TZ,	UG.	ZW.	AT.	BE.	CH.	CY.
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC.	NL.	PT.	SE.	TR.	BF.
		P.T	CE	CC	CT	CM	C A	CN	CO	C14	MET	MD	1117	CH	mn	ma	
ΑU	2001 2003 6638 2003 6613	0910	13		AS		2002	0326	- 1	AU 2	001-	9101	3	-	2	0010	914
US	2003	0550	44		A1		2003	0320		JS 2	001-	9535	05		2	0010	914
US	6638	926			B2		2003	1028									
US	2003	0649	81		A1		2003	0403		JS 2	001-	9528	36		2	0010	914
us	6613	776			B2		2003	0902		•							
US	2003	0649	82		A1		2003	0403	- 1	JS 2	001-	952B'	75		2	0010	914
υs	2003	0736	87		A1		2003	0417	1	JS 2	001-	9526	71		2	0010	914
US	6660	731			B2		2003	1209									
US	2003	0781	66		A1		2003	0424	t	JS 2	001-	95560	1		21	0010	914
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us	2003	0833:	27		A1		2003	0501	ı	JS 2	001-	95283	33		20	00109	914
US	6696 2003 6610	577			B2		2003	0826									
BR	2001	0140	88		A		2003	0617	F	3R 2	001-	14088	3		20	00109	14
EΡ	1318	997			A1		2003	0618	E	EP 2	001-	97108	32		20	00109	14
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		TC	CT	7.77	TV	ET	DO.	WV	m	B T	mn						
ZA	2003	2017	01		А		2004	0301	2	A 2	003~	1701			20	00109	14
Z.A.	20030	0017	03		A		2004	202	2	:A 2	003-	1703			20	0109	114
JР	20045	5091:	17		T2		2004	3325	٥	P 2	002-	52686	0		2(	00109	14
US	20030 20030 20049 20040 13459	975	01		A1	:	2004	0520	ι	S 2	001-	95347	1		20	00109	14
ΕP	13459	22			A1	- 2	20030	924		P 2	001-2	27106	1		20	0112	119
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	ĿU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
EΡ	13559	905			A1	- 2	2003	1029	E	P 2	001-2	27386	1		20	0112	19
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GÐ,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
ΝZ	52647	72			A		20040	430	N.	12. 21	001-5	12647	2		21	10113	10

ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl,
heteroaryl, heterocyclyl, or carbocyclyl; 21 = N or CR9; 22 = N or CR1, Z3
- N or CR7, Z4 = N or CR9; Rx and Ry = independently TR3, or taken
together with their intervening atoms form an (un)satd. fused ring having
1-3 ring heteroatoms; R2 and R2 = independently R, TWR6; or CR2RZa =
(un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or
alkylidene chain; W = C(R6)20. C(R6)20N-2. C(R6)2NR6, CO, CO2, CR60CO.
CRGOCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6-INNR6, CR6-INO, C(R6)2NR6NR6,
C(R6)2NR6SO2NR6, C(R6)2NR6CO3, CR6-INNR6, CR6-INO, C(R6)2NR6NR6,
corrected to the corrected representation of the corrected representation o

NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2N, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.),

RR]2, or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, COZR, COCR, COZR, COCR, etc.] were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CKX; Z4 = CKY; G = Ring C]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bloassay results for the inhibition of GSK-\$\frac{1}{3}\$, Aurora-2. ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and solted exhibited

Oited

Ki values of < 0.1 µM [or glycogen synthetase kinase 3β

(GSK-3β) and 0.1-1.0 µM for Aurora-2.

404836-24-09 404826-25-1P, (5-Methyl-2H-pyrazol-3-yl)(3-phenylisoguinolin-1-yl)amine

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines

analogs as protein kinase inhibitors for treatment of cancer,

analogs on proceed and Alzheimer's disease)

and Alzheimer's disease)

RN 404826-24-0 CAPLUS

CN 4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)

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L4	ANSWER 5 OF 13	CAPLUS	COPYRIGHT 2004	ACS on STN	(Continued)
	JP 2004518743	T2	20040624	JP 2002-565976	20011219
	JP 2004519479	T2	20040702	JP 2002-567928	20011219
	ZA 2003001697	A	20040301	ZA 2003-1697	20030228
	ZA 2003001699	A	20040301	ZA 2003-1699	20030228
	ZA 2003001702	A	20040301	ZA 2003-1702	20030228
	ZA 2003001704	A	20040301	ZA 2003-1704	20030228
	ZA 2003001698	A	20040302	ZA 2003-1698	20030228
	NO 2003001191	A	20030513	NO 2003-1191	20030314
	NO 2003002704	A	20030821	NO 2003-2704	20030613
	US 2004116454	A1	20040617	US 2003-692355	20031023
	US 2004157893	A1	20040812	US 2003-722374	20031125
	US 2004132781	A1	20040708	US 2003-736426	20031215
	US 2004167141	A1	20040826	US 2004-775699	20040210
PRIO	RITY APPLN. INFO.	. :		US 2000-232795P	P 20000915
				US 2000-257887P	P 20001221
				US 2001-286949P	P 20010427
				US 2001-955601	A3 20010914
				WO 2001-US28940	W 20010914
				US 2001-26966	A1 20011219
				WO 2001-US49139	W 20011219
				WO 2001-US50312	W 20011219
				US 2001-34019	A3 20011220
				US 2001-34683	A1 20011220

OTHER SOURCE(S): MARPAT 136:247583

Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl;

ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 404836-25-1 CAPLUS 1-1Boquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CAINDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

1T 404829-63-69, (1H-Indazol-3-yl)(3-(2-trifluoromethylphenyl)isoquin
oline-1-yl]amine 404829-65-89, (5,7-Difluoro-1H-indazol-3-yl)(3(2-trifluoromethylphenyl)isoquinolin-1-yl]amine 404829-66-99,
(2H-Indazol-3-yl)(2-phenylquinolin-4-yl)amine 404829-67-09,
(2-Phenylquinolin-4-yl)(1H-pyrazolo(4,3-b)pyridin-3-yl)amine
404829-68-1P, (1H-Indazol-3-yl)[2-(2-trifluoromethylphenyl)quinolin
n-4-yl]amine 404829-69-2P, (5,7-Difluoro-1H-indazol-3-yl)[2-(2-trifluoromethylphenyl)quinolin-4-yl)(1H-pyrazolo(4,3-b)pyridin-3yl)amine
Ri: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines

analogs as protein kinase inhibitors for treatment of cancer.

ana.cyc - .

diabetes,
and Alzheimer's disease)
RN 404829-63-6 CAPLUS
CW 1-1soquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]-

ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 404829-65-8 CAPLUS
1-Isoquinolinamine, N-(5,7-difluoro-1H-indazol-3-y1)-3-{2-(trifluoromethyl}phenyl)- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 4-04829-66-9 CAPLUS
4-041001lnamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

404829-67-0 CAPLUS

4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

404829-70-5 CAPLUS
4-Quinolinamine, N-1H-pyrazolo[4,3-b]pyridin-3-y1-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 19 CITED REFERENCES AVAILABLE FOR

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

404829-68-1 CAPLUS 4-Quinolinamine, N-1H-indazol-3-yl-2-{2-(trifluoromethyl)phenyl}- (9CI) (CA INDEX NAME)

404829-69-2 CAPLUS 4-Quinolinamine, N-(5,7-difluoro-1H-indazol-3-y1)-2-{2-(trifluoromethyl)phenyl}- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN ACCESSION NUMBER: 2002:220582 CAPLUS DOCUMENT NUMBER: 136:427582 Prensult

136:247582
Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease Bebbington, David; Binch, Hayley; Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert Vertex Pharmaceuticals Incorporated, USA PCT Int. Appl., 355 pp.
CODEN: PIXXD2
Patent INVENTOR (5):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English 14

PATENT NO.	KIND	DATE	APPLICATION NO.
WO 2002022606	A1	20020321	WO 2001-US28803

DATE

## Page 11

L4	ANGUIDO C OD				
L4	ANSWER 6 OF 13	CAPLUS	COPYRIGHT 2004		(Continued)
	ZA 2003001702	A	20040301	ZA 2003-1702	20030228
	ZA 2003001704	A	20040301	ZA 2003-1704	20030228
	ZA 2003001698	А	20040302	ZA 2003-1698	20030228
	NO 2003001189	A	20030513	NO 2003-1189	20030314
	NO 2003002704	A	20030821	NO 2003-2704	20030613
	US 2004116454	A1	20040617	US 2003-692355	20031023
	US 2004157893	A1	20040812	US 2003-722374	20031125
	US 2004132781	A1	20040708	US 2003-736426	20031215
	US 2004167141	A1	20040826	US 2004-775699	20040210
PRIO	RITY APPLN. INFO	. :		US 2000-232795E	P 20000915
				US 2000-257887E	P 20001221
				US 2001-286949E	P 20010427
				US 2001-955601	A3 20010914
				WO 2001-US28803	W 20010914
					20010314
				US 2001-26966	A1 20011219
				00 2001 20,00	A1 20011219
				WO 2001-US49139	W 20011219
				2001 0517137	20011219
				WO 2001-US50312	W 20011219
				WC 2001-0330312	W 20011219
				US 2001-34019	A3 20011220
				05 2001-34019	A3 20011220
				UC 2001 24602	
				US 2001-34683	A1 20011220

OTHER SOURCE(S):

MARPAT 136:247582

Title compds. I (wherein G = Ring C or Ring D; Ring C = (un) aubstituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl, Ring D = (un) substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, broken aryl, broken

ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 404826-25-1 CAPLUS
1-IBoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

1T 404829-63-69, (1H-Indazol-3-yl) [3-(2-trifluoromethylphenyl)isoquin
oline-1-yl]amine 404829-65-8P, (5,7-Difluoro-1H-indazol-3-yl) [3(2-trifluoromethylphenyl)isoquinolin-1-yl]amine 404829-66-8P,
(1H-Indazol-3-yl) (2-phenylquinolin-4-yl) lamine 404829-67-0P,
(2-phenylquinolin-4-yl) [1H-Indazol-3-yl) [2-(2-trifluoromethylphenyl)quinoli
n-4-yl]amine 404829-69-1P,
(1H-Indazol-3-yl) [2-(2-trifluoro-1H-indazol-3-yl)] [2-(2-trifluoromethylphenyl)quinolin-4-yl]amine 404829-70-5P,
[2-(2-Trifluoromethylphenyl)quinolin-4-yl]amine 404829-70-5P,
[2-(2-Trifluoromethylphenyl)quinolin-4-yl] [3H-pyrazolo4,3-b)pyridin-3yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); USES
(Usea)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines

analogs as protein kinase inhibitors for treatment of cancer,

analoge of ...
diabetes,
and Alzheimer's disease)
RN 404829-63-6 CAPLUS
CN 1-Isoquinolinamine, N-1H-indazol-3-yl-3-[2-{trifluoromethyl}]phenyl]-

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ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or CZR2R2a = (un) substituted funed ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)20, C(R6)205-2, C(R6)20R6, CO. (20, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6COA, CR6:NOO, C(R6)2NR6COA, CR6:NOO, C(R6)2NR6COA, CR6:NOO, CR6OCONR6, C(R6)2NR6COA, CR6:NOO, CR6OCOAR, COCOAR, C

CORR, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO2(aliph.), NR4N(R4)2, R4 = R7, COR7, CO2(aliph.), CON(R7)2.

OR SO2R7; OR N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un) substituted aliph, group; or N(R6)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, CON, COR, CCOR, CCOR, etc.] where prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alchaimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRX; Z4 = CRY, G = Ring D1. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-B3, Aurora-2, ERK, and SYC. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited (GSK-3B) and 0.1-1.0 µM for Aurora-2.

IT 404836-24-09 404826-35-1P, (5-Methyl-2H-pyrazol-3-yl)(3-phenylisoquinolin-1-yl)amine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); RACT (Reactant) reagent; USES (Uses)

(Preparation); RACT (Reactant or reagent); USES (Uses)

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and

and analogs as protein kinase inhibitors for treatment of cancer, diabetes,
and Alzheimer's disease)
RN 404826-24-0 CAPLUS
CN 4-Ouinolinamine, N-(5-methyl-lH-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-65-8 CAPLUS CN 1-Isoquinolinamine, N-(5,7-difluoro-1H-indazol-3.yl)-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

NE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
N 404829-66-9 CAPLUS
N 4-Quinolinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

404829-67-0 CAPLUS
4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA
INDEX NAME)

L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

404829-68-1 CAPLUS
4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI)
(CA INDEX NAME)

404829-69-2 CAPLUS 4-Quinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 13
ACCESSION NUMBER:
DOCUMENT NUMBER:
1156:247581
TITLE:
TITLE:
TORROW STANDARD STANDAR

LANGUAGE: PAMILY ACC. NUM. COUNT: PATENT INFORMATION: English 14

TE	ENT	INFOR	MATI	ON:														
	PA	TENT	NO.			KIN	D	DATE	2		APPI	LICAT	CION	NO.		D.	ATE	
		2002											US28					
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			GM,	LID.	ш,	ID.	tr.	TAI	7.0	TD,	PC,	YC.	KP,	rı,	GB,	GD,	GE,	GH,
			T.S	1.7	1.11	LV,	MA.	MD,	MC.	MV,	MAT.	, NO.	MX,	KK,	NZ,	LC,	LK,	LK,
			DT.	PO.	DII	en.	CP.	ea.	er.	ev.	er,	. m.,	TM,	MZ,	MO,	NZ,	PH,	PL,
			115	117	VN	VII	2h	7W	AM,	31,	DV,	, 1J,	KZ,	IK,	11,	TZ,	UA,	UG,
		DW-	GH,	GM,	KE,	T.S	MIN,	м7	en.	ei,	D1,	703,	UG,	mu,	RU,	15,	TM	
			DE.	DK.	FC,	PT.	PD.	CD.	CP,	JE,	17	12,	MC,	LW,	AT,	BE,	CH,	CY,
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	US	6638	926			B2		2003	1020		03 2	.001 -	9535	US		21	1010	914
	us	2003	0649	A 1		A1		2003	0402		110 3		0520					
	US	6613	776			B2		2003	0403		05 2	.001	9326	30		21	1010:	914
	US	2001 2003 6638 2003 6613 2003	0649	82		Al		2003	0402		uc o	.001 -	0520	76		24		
	US	2003	0736	87		A1		2003	0417		HC 2	2001 -	3320	73		21	1010	714
	US	2003 6660	731	•		B2		2003	1209		05 2		3320	, <u>.</u>		21	,010:	114
	US	2003	0781	66		Al		2003	0424		110 3		9556				0109	
	US	6696	452			B2		2004	0224		05 2		33301	01		21	,010;	14
	US	6696 2003	0833	27		Al		2003	0501		115 2	001-	9528	2.2		21	0109	114
	US	6610	677			B2		2003	0826			.001	,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,	,,		20	010:	114
		1317				A1		2003	0611		ED 2	001 -	9730	- 0		21		114
				BE.	CH.	DE.	DK.	ES.	PR	GB	GP	TT	LI,	LII	AIT.	e E .	MC	DT.
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	ZA	2003 2003 2004 2004 1345	0017	01		A		2004	0301		ZA 2	003-	1701			21		11
	ZA	2003	0017	03		А		2004	0302	- 3	ZA 2	003-	1703			20	0100	114
	JР	2004	5091	15		T2		2004	0325		JP 2	002-	5268	S.R.		20	0100	114
	US	20041	0975	01		Αl		2004	0520	1	JS 2	001-	95347	71		20	0109	14
	ΕP	1345	922			A1		2003	0924	1	EP 2	001-	27106	51		20	0112	119
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			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR				,	,	,
	EP	13559				A1		2003	1029	- 1	EP 2	001-	27386	1		20	0112	19
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT.	LI,	LU.	NL.	SE.	MC.	PT.
			ΙE,	SI,	LT,	LV,	FI.	RO,	MK.	CY.	AL.	TR						
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	JР	20049	5194	79		T2		2004	0702	- 4	JP 2	002-	56792	8		20	0112	19
	ZA	20049 20030 20030 20030 20030	016	97		Α		2004	0301	2	.A 2	003-	1697			20	0302	28
	ZA	20030	00169	99		Α		2004	0301	2	ZA 2	003-	1699			20	0302	28
	ZA	20030	00170	)2		A	:	20040	0301	2	ZA 2	003-	1702			20	0302	28
	ZA	20030	00170	34		А		2004	0301	2	ZA 2	003-	1704			20	0302	28

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L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

404829-70-5 CAPLUS 4-Quinolinamine, N-1H-pyrazolo(4,3-b]pyridin-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4	ANSWER 7 OF 13	CAPLUS	COPYRIGHT 2004	ACS on STN	(Continu	ied)
	ZA 2003001698	A	20040302	ZA 2003-1698		20030228
	NO 2003002704	A	20030821	NO 2003-2704		20030613
	US 2004116454	A1	20040617	US 2003-692355		20031023
	US 2004157893	A1	20040812	US 2003-722374		20031125
	US 2004132781	A1	20040708	US 2003-736426		20031215
	US 2004167141	A1	20040826	US 2004-775699		20040210
PRIO	RITY APPLN. INFO.	. :		US 2000-232795P	P	20000915
				US 2000-257887P	P	20001221
				US 2001-286949P	P	20010427
				US 2001-955601	A3	20010914
				WO 2001-US28793	w	20010914
						20010714
				US 2001-26966	2.1	20011219
				WO 2001-US49139	w	20011219
						20011219
				WO 2001-US50312	w	20011219
				2001 0030312	**	20011219
				US 2001-34019	2.2	20011220
					AS	20011220
				US 2001-34683		20011220
				00 2001-34003	ΑI	20011220

OTHER SOURCE(S): MARPAT 136:247581

Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; 21 = N or CR9; Z2 = N or CH; Z3 = N or CR; Z4 = N or CR9; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring ing

together with their intervening atoms tolm an tol, satisfaction of C222R2a having
1-3 ring heteroatoms; R2 and R2a - independently R, TWR6; Or C222R2a - (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR60CO, CR60CONR6, C(R6)2NR6COO, CR6)2NR6CO, CR6:NNBC, C(R6)2NR6COC, CR6:NNBC, C(R6)2NR6COC, CR6:NNBC, C(R6)2NR6COC, CR6:NNBC, CR6:ND, C(R6)2NR6COC, CR6:NDBC, CR

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2,

, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), 2712 CON (R7) 2.

ARSOZERNA), RNESOZE, OF OLONIRA); RG = R7, CDZ[aliph.],
R712, R712,
Or SOZR7; Or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 =
independently H or (unjaubatituted aliph. group; or N(R6)2 = heterocyclyl
or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR.
COR. COZR, COCOR, etc.) were prepd. as protein kinase inhibitors, egp. as
inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer,
diabetes, and Alzheimer's disease. Claims cover pyracolamines and
indazolamines I [wherein z] = N or CR9; Z2 = N or CR; Z4 =
N, at least one of Z1 or Z3 = N1. Examples include data for approx. 300
invention compda. prepd. by a variety of synthetic methods and bioasesy
results for the inhibition of GSK-B3, Aurora-2, ERK, and Src. Por
instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and
bited exhibited

bited

Ki values of < 0.1 µM for glycogen synthetase kinase 3B

(GSK-3B) and 0.1-1.0 µM for Aurora-2.

404836-24-0P 404836-25-1P, (5-Methyl-2H-pyrazol-3-yl)(3-phenylisoguinolin-1-yl)amine

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Usea)

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines

and analogs as protein kinase inhibitors for treatment of cancer. diabetes,

and Alzheimer's disease) 404826-24-0 CAPLUS

4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)

404826-25-1 CAPLUS 1-Isoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)

ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE NR MONES TAUTUMENTS DOUBLE BOARDS AND THE MANAGERS AS A CAPILLE 404829-65-8 CAPILLE 1-Isoquinolinamine, N-{5,7-difluoro-1H-indazol-3-yl)-3-{2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 404829-66-9 CAPLUS
4-Quinolinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

404829-67-0 CAPLUS 4-Quinolinamine, 2-phenyl-N-1H-pyrazolo(4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)

ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

17 404829-63-6P, (1M-Indazol-3-yl)[3-(2-trifluoromethylphenyl)isoquin oline-1-yl]amine 404839-65-8P, (5,7-Difluoro-1H-indazol-3-yl)[3-(2-trifluoromethylphenyl)isoquinolin-1-yl]amine 404829-66-8P, (1H-Indazol-3-yl)[2-phenylquinolin-4-yl)amine 404829-67-0P, (2-phenylquinolin-4-yl) amine 404829-67-0P, (2-phenylquinolin-4-yl) [3-(2-trifluoromethylphenyl)quinolin-1-yl]amine 404829-68-1P, (1H-Indazol-3-yl)[2-(2-trifluoromethylphenyl)quinolin-1-yl]amine 404829-79-3-yl) [2-(2-Trifluoromethylphenyl)quinolin-4-yl] [1H-pyrazolo[4,3-b]pyridin-3-yl)amine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Usea)

(protein Kinase inhibitor; preparation of heterocyclyDyrazolamines

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines

and
analogs as protein kinase inhibitors for treatment of cancer,
diabetes,
and Alzheimer's disease)
RN 404829-63-6 CAPLUS
CN 1-1soquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl](9C1) (9CI) (CA INDEX NAME)

ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

404829-68-1 CAPLUS 4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

404829-69-2 CAPLUS 4-Quinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-2-{2-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

404829-70-5 CAPLUS
4-Quinolinamine, N-1H-pyrazolo{4,3-b}pyridin-3-yl-2-{2-{trifluoromethyl}phenyl}- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 8 OF 13 C/
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ZA 2003001704
ZA 2003001190
NO 2003001190
NO 2003002704
US 200416454
US 2004157893
US 2004157893
US 2004167141
PRIORITY APPLN. INFO:: COPYRIGHT 2004 ACS ON STN

20040624 JP 2002-565976
20040702 JP 2002-5659782
20040301 ZA 2003-1659
20040301 ZA 2003-1659
20040301 ZA 2003-1704
20040302 ZA 2003-1704
20040302 ZA 2003-1704
20040302 ZA 2003-1704
20040613 NO 2003-13190
2003081 NO 2003-2704
20040617 US 2003-692355
20040812 US 2003-723374
20040708 US 2003-736426
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US 2000-232795P US 2000-257887P US 2001-286949P P 20010427 US 2001-955601 A3 20010914 WO 2001-US28792 W 20010914 US 2001-26966 Al 20011219 WO 2001-US49139 W 20011219 WO 2001-US50312 W 20011219 US 2001-34019 A3 20011220 US 2001-34683 A1 20011220 OTHER SOURCE(S): MARPAT 136:247606

ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN SSION NUMBER: 2002:220580 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: TITLE: 136:247606
Preparation of 3-(4-pyrimidinylamino)pyrazole
derivatives as protein kinase inhibitors, especially
of Aurora-2 and GSK-3, for treating cancer, diabetes
and Alzheimer's disease
Davies, Robert; Bebbington, David; Binch, Haley;
Kneytel, Ronsld; Golec, Julian M. C.; Patel, Sanjay;
Charrier, Jean-Damien; Kay, David; Davies, Robert
Vertex Pharmaceuticals Incorporated, USA
PCT Int. Appl., 357 pp.
CODEN: PIXXD2
Patent INVENTOR (S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English

PA:	TENT	NO.			KIN	D	DATE	:		APPL	ICAT	I ON	NO.		D.	ATE	
WO	2002																
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN.
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ.	EC.	EE.	ES.	FI.	GB.	GD.	GE.	GH.
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US	2001 2003	0550	44		A1		2003	0320		US 2	001-	9535	05		2	0010	914
US	6638	926			B2		2003	1028							_		
US	2003	0649	81		A1		2003	0403		US 2	001-	9528	36		2	0010	914
US	6613	776			B2			0902							_	0010	
US	2003	0649	82		Al			0403		US 2	001-	9528	75		2	กกาก	914
US	2003	0736	87		A1		2003	0417		115 2	001 a	9526	71		2.	0010	014
US	6660	731			B2		2003	1209					_		_		
US	6660 2003 6696 2003 6610	0781	66		A1		2003	0424		US 2	001-	9556	01		2	0010	914
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								MK,						•	- '		
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EP	1355	905			A1		2003	1029		EP 2	001-	2738	51		21	0011	219
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		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR			•		.,	
NZ	5264	72			A		2004	0430		NZ 2	001-	5264	72		20	00112	219

ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

AB The preparation of title compds. I and their pharmaceutically acceptable salts

The preparation of title compds. I and their pharmaceutically acceptable a prodrugs is described (wherein: R1, R2 = dependently form (un) substituted fused, unsatd. or partially unsatd., 5-8 membered carbocyclo ring; R3, R4 = independently H, aliphatic, aryl, heteroaryl, heterocyclyl, or wide variety of functionalized sidechains; or ndently form a fused, 5-8 membered, unsatd. or partially unsatd. ring having 0-3 ring heteroatoms (N, S, O); R5 = fused, (un) substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (aryl, heteroaryl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N, S, O)]. For example, chlorination of quinazolone II with phosphorus oxychloride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compound III. Compds. I are inhibitors of GSK-13 and Aurora-2 protein kinases. The invention also relates to methods of treating diseases associated with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In biosassy, compds. I inhibited the following kinases with Kis reported < 100 nM: SK-13 (13 compds.), AURORA-2 (55 compds.), CDK-2 (no data), RRM2 (8 compds.), ART (no data), and Human Src kinase (21 compds.). Claims included 146 specific compds., and 188 examples were given. The hease

included 146 apecific compds., and 188 examples syntheses of 6 compds. and 46 intermediates are described. IT 404826-24-0P 40482-625-1P 404829-63-69 404829-65-8P 404829-67-0P 404829-68-1P 404829-69-2P 404829-70-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase

inhibitors)

ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 404826-24-0 CAPLUS 4-Ouinolinamine, N-{5-methyl-1H-pyrazol-3-yl}-2-phenyl- (9CI) (CA INDEX NAME)

404826-25-1 CAPLUS 1-lacquinolinamine, N-(5-methyl-1H-pyrazoI-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-63-6 CAPLUS
CN 1-lacquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]-(9C1)

ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

404829-67-0 CAPLUS 4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)

404829-68-1 CAPLUS
4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI)(CA INDEX NAME)

404829-69-2 CAPLUS 4-Quinolinamine, N-(5,7-difluoro-lH-indazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-65-8 CAPLUS CN 1-19equinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-3-{2-(trifluoromethyl)phenyl}- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-66-9 CAPLUS
CN 4-Quinolinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

404829-70-5 CAPLUS 4-Quinolinamine, N-1H-pyrazolo(4,3-b)pyridin-3-yl-2-{2-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 5 CITED REPERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2002:220579 CAPLUS 136:247580

DOCUMENT NUMBER: TITLE:

Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease Davies, Robert; Li, Pan; Golec, Julian; Bebbington, Davies. INVENTOR(S):

DAVId Vertex Pharmaceuticals Incorporated, USA PCT Int. Appl., 406 pp. CODEN: PIXXD2 Patent PATENT ASSIGNEE(S):

DOCUMENT TYPE:

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.				KIND DATE				APPL	ICAT	DATE								
	O 2002022603				A1		2002	0321	1	WO 2	001-	US28	738		2	0010	914	
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB.	GD.	GE.	GH.	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ.	LC.	LK.	LR.	
		LS,	LT.	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW.	MX,	MZ.	NO.	NZ.	PH.	PL.	
		PT,	RQ,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT.	TZ.	UA.	UG.	
		US,	UZ,	٧N,	YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ.	TM		
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW.	AT.	BE,	CH.	CY.	
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL.	PT.	SE.	TR.	BF.	
ΑIJ	2001090912				A5		2002	0326	GU, GW, MI, MR, NE, SN, AU 2001-99312 US 2001-953505 US 2001-952836 US 2001-952875 US 2001-952875							20010914		
US	2003	0550	44		A1		2003	0320	1	JS 2	001-	9535	05		2	0010	914	
US	6638	926			B2		2003	1028										
US	2003	0649	81		A1		2003	0403		JS 2	001-	9528	36		2	0010	914	
US	6613	776			B2		2003	0902										
US	2003	0649	82		Al		2003	0403		JS 2	001-	9528	75		20	0010	914	
US	2003	0736	87		A1		2003	0417	1	JS 2	001-	9526	71		21	0010	914	
US	6660 2003 6696	731			B2		2003	1209										
US	2003	0781	66		A1		2003	0424	τ	JS 2	001-	9556	01		26	0010	914	
JS	6696	452			B2		2004	0224										
US.	2003	2833.	27		A1		2003	0501	1	JS 21	001 -	952R	3.3		24	2010	014	
us	6610	577			B2		2003	0826										
EΡ	1317	147			A1		2003	0611	E	EP 2	001-	9709	59		20	0010	914	
	R:	AT.	BE,	CH,	DE,	DK,	ES.	PR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
							RO,											
ZΑ	2003	0017	01		A		2004	0301	2	ZA 2	003-	1701			20	0010	914	
ДŞ	20030	0017	03		A		2004	0302	2	ZA 2	003-	1703			20	0010	914	
JS	20036 20046 20045	975	01		A1		2004	0520	·	JS 24	001-	9534	71		20	00109	914	
JΡ	2004	250	75		T2		2004	0819		JP 20	002-	52685	56		20	010	914	
ΞP	13459	922			A1		2003	0924	E	P 20	001-	2710	51		20	0112	219	
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI.	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR							
ΞP	13559	905			A1		2003	1029	E	EP 26	001-	27386	51		20	0112	219	
	R:	ΑŤ,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR							
١Z	52647	72			A		2004	0430	N	IZ 20	001-	52647	72		20	0112	219	
ΙP	52647 20045 20045	1874	13		T2		2004	0624	J	IP 20	002-	6597	76		20	0112	19	
JΡ	20045	194	79		T2		20046	1702		P 20	202-	6793			20	0111	110	

ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued) together with their intervening atoms form an (un)satd. fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWRS; or CZRZR2 = (un)substituted fused ring contg. 0.3 heteroatoms; T = a bond or alkylidene chain; W = C(Re)20, C(Re)250-2, C(R6)2NR6, CO, CO2, CR6COOR, CR6COOR, C(R6)2NR6CO2, CR6:NNR6, CR6:NNO, C(R6)2RR6NB6, C(R6)2NR6CO3, CR6:NNR6, CR6:NN, C(R6)2NR6NB6, CR6)2NR6CO3, CR6:NNR6, CR6:NN, CR6:

NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO2(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.),

NR45UN, NR45UN, NR4SOZR, OT OCON(R4)2; R4 = R7, COR7, CO2(aliph.),
CON(R7)2,
or SOZR7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 =
independently H or (un)substituted aliph, group; or N(R6)2 = heterocyclyl
or heteroaryl; R9 = R, halo, OR,
COR, COZR, COCOR, etc.] were prepd. as protein kinase inhibitors of sancer,
diabetes, and Alzheimer's disease. Claims cover (triazinyl)pyrazolamines
and indazolamines I [wherein Z1, Z2, and Z3 = N; Z4 = CRY]. Examples
include data for approx. 300 invention compds. prepd. by a variety of
synthetic methods and biosanay results for the inhibition of GSK-β3,
Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-jpyrazolamine II was prepd. and exhibited Ki values of < 0.1 μM for
glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for
Aurora-2.

IT 404826-24-0P 404826-35-1P, (5-Methyl-2H-pyrazol-3-yl)(3phenylinoquinolin-1-yl)amine

phenyliaoquinolin-1-yllamine activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Freparation); RACT (Reactant or reagent); USES (Usea) (protein kinase inhibitor; preparation of heterocyclylpyrazolamines

analogs as protein kinase inhibitors for treatment of cancer,

analoga co prodiabetes,
and Alzheimer's disease)
RN 404826-24-0 CAPLUS
CN 4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)

404826-25-1 CAPLUS 1-Isoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)

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L4	ANSWER 9 OF 13	CAPLUS	COPYRIGHT 2004	ACS on STN	(Continued)
	ZA 2003001697	A	20040301	ZA 2003-1697	20030228
	ZA 2003001699	A	20040301	ZA 2003-1699	20030228
	ZA 2003001702	A	20040301	ZA 2003-1702	20030228
	ZA 2003001704	A	20040301	ZA 2003-1704	20030228
	ZA 2003001698	A	20040302	ZA 2003-1698	20030228
	NO 2003002704	A	20030821	NO 2003-2704	20030613
	US 2004116454	A1	20040617	US 2003-692355	20031023
	US 2004157893	A1	20040812	US 2003-722374	20031125
	US 2004132781	A1	20040708	US 2003-736426	20031215
	US 2004167141	A1	20040826	US 2004-775699	20040210
PRIO	RITY APPLN. INFO.	. :		US 2000-232795F	P 20000915
				US 2000-257887F	P 20001221
				US 2001-286949P	P 20010427
				US 2001-955601	A3 20010914
				WO 2001-US28738	W 20010914
				US 2001-26966	A1 20011219
				WO 2001-US49139	W 20011219
				WO 2001-US50312	W 20011219
				US 2001-34019	A3 20011220
				US 2001-34683	A1 20011220

OTHER SOURCE(S): MARPAT 136:247580

Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph. pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heterocaryl, heterocaryl, heterocaryl, heterocaryl, to Roy . Z1 = N or CR9; Z2 = N or CH; Z3 = N or CR; Z4 = N or CRy; Rx and Ry = independently TR3, or taken

ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

1T 404829-63-69, (1H-Indazol-3-yl) [3-(2-trifluoromethylphenyl)isoquin
oline-1-yl]amine 404829-65-8P, (5,7-Difluoro-1H-indazol-3-yl) [3(2-trifluoromethylphenyl)isoquinolin-1-yl]amine 404829-66-9P,
(1H-Indazol-3-yl) [2-phenylquinolin-4-yl) lamine 404829-67-0P,
(2-Phenylquinolin-4-yl) [1H-Indazol-3-yl) [2-(2-trifluoromethylphenyl)quinolin
4-4929-68-1P, (1H-Indazol-3-yl) [2-(2-trifluoromethylphenyl)quinolin
1-4-yl]amine 404829-69-2P, (5,7-Difluoro-1H-indazol-3-yl) [2-(2Trifluoromethylphenyl)quinolin-4-yl] (1H-Pytazolo[4,3-b]pyridin-3yl)amine
RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Usea)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines analogs as protein kinase inhibitors for treatment of cancer,

analogo w. ...

diabetes,
and Alzheimer's disease)
RN 404829-63-6 CAPLUS
CN 1-Isoquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]-

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-65-8 CAPILIS
ON 1-1800quinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-3-[2-

ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (trifluoromethyl)phenyl)- (9C1) (CA INDEX NAME)

(Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-66-9 CAPLUS

OF 4-Quinolinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

404829-67-0 CAPLUS 4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-J-yl- (9CI) (CA INDEX NAME)

ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

404829-70-5 CAPLUS

4-Quinolinamine, N-1H-pyrazolo[4,3-b]pyridin-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REPERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

404829-68-1 CAPLUS
4-Quinolinamine, N-1H-indazol-3-yl-2-{2-(trifluoromethyl)phenyl}- (9CI)
(CA INDEX NAME)

404829-69-2 CAPLUS 4-Quinolinamine, N-(5,7-difluoro-1H-indazol-3-y1)-2-(2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

our work

L4 ANSWER 10 OF 13
ACCESSION NUMBER:
DOCUMENT NUMBER:
11TLE:
136:263164
Preparation of triazolamines as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S):
Bebbington, David, Knegtel, Ronald; Binch, Haley;
Golec, Julian M. C; Li, Pan; Charrier, Jean-Damien
Vertex Pharmaceuticals Incorporated, USA
CODEN: PIXXD2
DOCUMENT TYPE:
LANGUAGE:
PAMILY ACC. NUM. COUNT:
14
PATENT INFORMATION:
14

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	TENT	NO.			KIND DATE				APPL	ICAT	DATE							
						-						-						
	2002				A2	20020321			1	WO 2	001-	20010914						
MO	WO 2002022602				A3	20020627												
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	ÐG,	BR,	BY,	BZ.	CA.	CH.	CN.	
		co,	CR,	CU,	CZ,	DE,	DK.	DM,	DZ.	EC.	EE.	ES.	FT.	GB.	GD	GE	CH	
		GM.	HR.	HU.	ID.	TL.	IN.	IS,	,τp´	KE	KG	KD.	VD.	V2	10	7 1	T.D.	
		T.C	I.T	T.11	T.V	MA.	MD	MG,	MV	MAI.	100,	KE,	KK,	N	ыс,	LK,	DR,	
		DD,	DA.,	шо,		rut,	MD,	MG,	rik,	ma,	mw,	MΛ,	MZ,	NO.	NZ,	PH,	PL,	
		Pr,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	
		US,	UZ,	VN,	YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ.	TM		
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ.	UG,	ZW.	AT.	BE.	CH.	CY.	
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT.	SE.	TR.	BF.	
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD.	TG		
ΑU	2001	0968	75		A5		2002	0326	AU 2001-96875							0010	914	
US	2003	0550	44		A1		2003	0320	US 2001-953505							0010	014	
US	6638	926			B2		2003	1028							-		,,,	
US	2003	0649	81		A1		2003	0403	,	JS 2	001-	9528	36		21	0010	914	
US	6613	776			B2		2003	0902							-			
US	2003	0649	82		A1		2003	0403	τ	JS 2	001-	9528	75		20	0010	914	
US	2003	0736	97		Δ1		2002	0412										

US 2003064982 A1 20030403 US 2001-952875 20010914
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US 6610677 B2 20030826
EP 1318814 A2 20030818 EP 2001-977783 20010914
R: AT, BE, CH, DE, DK, ES, FR, CB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
A2 003001701 A 20040301 ZA 2003-1703 20010914
US 2004097501 A1 20040325 JP 2005-52855 20010914
US 2004097501 A1 20040520 JP 2005-52855 20010914
US 2004097501 A1 20030924 EP 2001-271061 20010914
US 2004097501 A1 20030924 EP 2001-271061 20011219
EP 1345922 A1 20030924 EP 2001-271061 20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1355905 A1 20030329 EP 2001-271061 20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1355905 A1 200404301 XN 2001-526472 20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 2004518743 T2 20040624 JP 2002-567928 20011219
JP 2004518743 T2 20040702 JP 2002-567928 20011219
ZA 2003001699 A 20040301 ZA 2003-1699 20030228
ZA 2003001702 A 20040301 ZA 2003-1699 20030228

L4	ANSWER 10 OF 13	CAPLUS	COPYRIGHT 20	04 ACS on STN	(Continued)
	ZA 2003001704	A	20040301	ZA 2003-1704	20030228
	ZA 2003001698	A	20040302	ZA 2003-1698	20030228
	NO 2003002704	A	20030821	NO 2003-2704	20030613
	US 2004116454	A1	20040617	US 2003-69235	
	US 2004157893	A1	20040812	US 2003-72237	
	US 2004132781	A1	20040708	US 2003-73642	
	US 2004167141	A1	20040826	US 2004-77569	
PRIC	RITY APPLN. INFO.	:		US 2000-23279	SP P 20000915
				US 2000-25788	7P P 20001221
				US 2001-28694	P P 20010427
				US 2001-95560	A3 20010914
				WO 2001-US421	52 W 20010914
				US 2001-26966	Al 20011219
				WO 2001-US491	9 W 20011219
				WO 2001-US503	2 W 20011219
				US 2001-34019	A3 20011220
				US 2001-34683	A1 20011220
OTHE	R SOURCE(S):	MARP	AT 136 - 263164		

ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (heterocyclyl)triazolamines I [wherein Z1 = N or CR9; Z2 = N or CR; R9 is defined abovel. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioasasy results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-quinazolinyl)-1H-1,2,4-triazol-3-amine III was prepd. and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-β3) and 1.0-20 μM for Aurora-2. 404636-24-0F 404836-25-1P, (5-Methyl-2H-pyrazol-3-yl) (3-phenylisoguinolini-1yl)amine RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological atudy); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (protein kinase inhibitor; preparation of triazolamines, 20lamines, and

pyrazolamines, and

analogs as protein kinase inhibitors for treatment of cancer, diabetes.

and Alzheimer's disease) 404826-24-0 CAPLUS

4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX

CAPLUS

1-Isoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE IT 404829-63-6P, (1H-Indazol-3-yl)[3-(2-trifluoromethylphenyl)isoquin

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L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Triazolamines I and pyrazolamines II (wherein G \* Ring C or Ring D; Ring

Triavolamines I and pyrazolamines II (wherein G = Ring C or Ring D; Ring = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1.2.4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy, Rx and Ry = ependently

TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R,

TWRG; or CZRZRZ3 = (un)substituted fused ring containing 0-3 erroatoms; T = a bond or alkylidene chain; W = C(R6)20, C(R6)250-2, C(R6)2NR6, CO, CO2,

CR65CON, CR65CONRG, C(R6)2NR6CO2, C(R6)2NRGCO2, CR6:NNRG, CR6:NO,

C(R6)2NR6NRS, C(R6)2NR6SO2NRS, C(R6)2NR6CO3, Or CONRS; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring, R3 = R,

halo, O.R. COR, COZR, CCCOR, CCCH2COR, NO2, CN. SOO-2R, N(R4)2, CON(R4)2, SOZN(R4)2, OCOR, NR4COR, NR4COR, NR4COR(A)2, NR4SOZN(R4)2, NR4SOZN(R4)2, NR4SOZN(R4)2, NR4SOZN(R4)2, NR4SOZN(R4)2, NR4SOZN(R4)2, RA4SOZN(R4)2, RA4SOZN(R4)2, RA4SOZN(R4)2, RA4SOZN(R4)2, RA4SOZN(R4)2, RA5COR(R4)2, RA5CO

ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) oline-1-yl}amine 404839-65-89, (5,7-Difluoro-1H-indazol-3-yl)[3-(2-trifluoromethylphenyl)isoquinolin-1-yl]amine 404839-66-89, (1H-Indazol-3-yl)[2-phenylquinolin-4-yl)amine 404829-66-99, (2-Phenylquinolin-4-yl)[1H-pyrazolo[4,3-b]pyridin-3-yl]amine 404839-66-19, (1H-Indazol-3-yl)[2-(2-trifluoromethylphenyl]quinolin-4-yl]amine 404839-66-19, (1H-Indazol-3-yl)[2-(2-trifluoromethylphenyl)quinolin-4-yl]amine 404839-67-95, (5,7-Difluoro-1H-indazol-3-yl)[2-(2-trifluoromethylphenyl)quinolin-4-yl]amine 404839-70-59, (2-(2-Trifluoromethylphenyl)quinolin-4-yl](1H-pyrazolo[4,3-b]pyridin-3-yl]amine RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic une); BIOL (Biological study); PREP (Preparation); USES (Uses)

des, (protein kinase inhibitor; prepn. of triazolamines, pyrazolamines, and analogs as protein kinase inhibitors for treatment of cancer.

Biology - disbetes,
and Alzheimer's disease)
RN 404829-63-6 CAPLUS
CN 1-Isoquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]-

OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 404429-65-8 CAPLUS
1-lacquincolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (CONtinued)
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-66-9 CAPLUS
CN 4-Quinolinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

404829-67-0 CAPLUS 4-Ouinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)

404829-68-1 CAPLUS 4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

404829-69-2 CAPLUS 4-Quinolinamine, N-(5,7-difluoro-1H-indazol-3-y1)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

404829-70-5 CAPLUS 4-Quinolinamine, N-1H-pyrazolo[4,3-b]pyridin-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
116:247579
Preparation of pyrazolamines and analogs as protein
kinase inhibitors for treatment of cancer, diabetes,
and Alzheimer's disease
Knegtel, Ronald; Bebbington, David; Binch, Hayley;
Golec, Julian; Patel, Sanjay, Charrier, Jean-Damien;
Kay, David; Davies, Robert; Li, Pan; Wannamaker,
Marion; Forster, Cornelia; Pierce, Albert
Vertex Pharmaceuticals Incorporated, USA
POT Int. Appl., 376 pp.
CODEN: PIXXD2
DOCUMENT TYPE:
LANGUAGE:
PAMILY ACC. NUM. COUNT:
14

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NU PATENT INFORMA

	ACC. INFOR			NT:	14												
P2	ATENT	NO.			KIN	D	DATE			APP	LICAT	ION	NO.		D.	ATE	
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## Page 20

L4	ANSWER 11 OF 13	CAPLUS	COPYRIGHT			(Conti	
	ZA 2003001702	A	20040301	ZA	2003-1702		20030228
	ZA 2003001704	A	20040301	. ZA	2003-1704		20030228
	ZA 2003001698	A	20040302	ZA	2003-1698		20030228
	NO 2003002704	A	20030821	NO	2003-2704		20030613
	US 2004116454	A1	20040617	US	2003-692355		20031023
	US 2004157893	A1	20040812	US	2003-722374		20031125
	US 2004132781	A1	20040708	US	2003-736426		20031215
	US 2004167141	A1	20040826	~05	2004-775699		20040210
PRIC	RITY APPLN. INFO.	:		US	2000-232795P	P	20000915
				US	2000-257887P	P	20001221
				US	2001-286949P	P	20010427
				US	2001-955601	A3	20010914
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				US	2001-26966	A1	20011219
				WO	2001-US49139	W	20011219
				WO	2001-US50312	W	20011219
				US	2001-34019	A3	20011220
				US	2001-34683	A1	20011220
				0.0		***	

OTHER SOURCE(S):

MARPAT 136:247579

Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph. pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CR9; Z7 = N or CR9; Z4 = N or CR9; Z4

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

404826-25-1 CAPLUS 1-Iaoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

17 40429-63-6P, (1H-Indazol-3-yl)](3-(2-trifluoromethylphenyl)isoquin oline-1-yl]amine 404829-65-8P, (5,7-Difluoro-1H-indazol-3-yl)[3-(2-trifluoromethylphenyl)isoquinolin-1-yl]amine 40482-66-9P, (1H-Indazol-3-yl)[4-Phenylquinolin-4-yl)amine 404829-67-0P, (2-Phenylquinolin-4-yl) (1H-pyrazolo[4,3-b]pyridin-3-yl)amine 404829-68-1P, (1H-indazol-3-yl)[2-(2-trifluoromethylphenyl)quinolin-4-yl]amine 404829-67-1P, (2-trifluoromethylphenyl)quinolin-4-yl]amine 404829-70-5P, (2-trifluoromethylphenyl)quinolin-4-yl](1H-pyrazolo[4,3-b]pyridin-3-yl)mine 404828-63-3P 404858-64-67-9P 404858-65-79 404858-67-5P 404858-67-9P 404858-67-9P 404858-67-9P 404858-73-7P 404858-73-7P 404858-73-7P 404858-73-7P 404858-73-1P 404858-73-9P 404858-73-9P 404858-73-9P 404858-73-9P 404858-73-9P 404858-73-9P 404858-73-9P 404858-73-9P 404858-73-9P 404858-83-PP 404858-93-PP 404858-93-PP

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ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un) substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; w = C(R6)20, C(R6)209-2, C(R6)2RR6, CO, CO2, CR60CO, CR60CONR6, C(R6)2NR6CO, C(R6)2NR6CO, C(R6)2NR6CO, C(R6)2NR6CO, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6CONR6, O(R6)2NR6CONR6, O( OCOR , NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2N, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.),  $\frac{1}{2}$ MR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.),
CON(R7)2,
or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 =
independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl
or heteroaryl; R7 = heterocyclyl or heteroaryl; R7 = R, halo, OR,
COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitore, esp. as
inhibitore of Aurora-2 and GSK-3, for treating diseases such as cancer,
diabetes, and Alzheimer's disease. Claims cover pyrimidinyl- and
pyridinyl- pyrazolamines and indazolamines I (wherein 21 = N, CRa, or CH;
Z2 = N or CH; and at least one of Z1 or Z2 = N; Z3 = CRX; Z4 = CRY; Ra =
halo, OR, COR, CO2R, COCOR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SON(R4)2,
OCOR, NN4COR, etc.; R and R4 are defined abovel. Examples include data
for approx. 300 invention compds. prepd. by a variety of synthetic
methods and bioassay results for the inhibition of GSK- $\beta$ 3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 µM for glycogen synthetase kinase 3ß (GSK-3ß) and 0.1-1.0 µM for Aurora-2.
404836-24-0P 404826-25-1P, (5-Methyl-2H-pyrazol-3-yl)(3-phenylisoquinolin-1-yl)amine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Usea) (protein kinase inhibitor; preparation of heterocyclylpyrazolamines IT and analogs as protein kinase inhibitors for treatment of cancer, diabetes,
and Alzheimer's disease)
RN 404226-24-0 CAPLUS
CN 4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
404859-01-4P 404859-02-5P 404859-03-6P
404859-04-7P 404859-03-8P 404859-03-9P
404859-07-0P 404859-08-1P 404859-09-2P
404859-10-5P 404859-11-6P 404859-12-7P
404859-13-8P 404859-14-9P 404859-15-0P
404859-16-1P 404859-17-2P 404860-48-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses) (protein kinase inhibitor; prepn. of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, 

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-65-8 CAPLUS 404829-65-8 CAPLUS
1-Isoquinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-66-9 CAPLUS CN 4-Ouinolinamine, N-1H-indezol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404829-67-0 CAPLUS CN 4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)

RN 404829-68-1 CAPLUS CN 4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404858-64-6 CAPLUS
CN 4-Quinolinamine, 2-(2-chlorophenyl)-N-(5-cyclopropyl-1H-pyrazol-3-yl)(9C1) (CA INDEX NAME)

RN 404858-65-7 CAPLUS
CN 4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-[2-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 404829-69-2 CAPLUS
CN 4-Ouinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9C1) (CA INDEX NAME)

RN 404829-70-5 CAPLUS
CN 4-Quinolinamine, N-1H-pyrazolo[4,3-b]pyridin-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 404858-63-5 CAPLUS
CN 4-Quinolinamine, N-{5-cyclopropyl-1H-pyrazol-3-yl}-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404858-66-8 CAPLUS CN 4-Quinolinamine, 2-(2-chlorophenyl)-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 404858-67-9 CAPLUS

N 1H-Indazol-3-amine, N-[2,3-dimethyl-6-[2-(trifluoromethyl)phonyl]-4pyridinyl]- (9C1) (CA INDEX NAME)

RN 404858-68-0 CAPLUS
CN 1H-Indazol-3-amine, N-[6-{2-chlorophenyl}-2,3-dimethyl-4-pyridinyl}(9CI)
(CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404858-69-1 CAPLUS
CN 1H-Indazol-3-amine, N-[2,3-dimethyl-6-[2-(trifluoromethyl)phenyl]-4pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)

RN 404858-70-4 CAPLUS
CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-2,3-dimethyl-4-pyridinyl]-5-fluoro (9C1) (CA INDEX NAME)

RN 404858-71-5 CAPLUS CN H-Indazol-3-amine, N-[2-methyl-6-[2-(trifluoromethyl)phenyl]-4-pyridinyl]-(9Cl) (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404858-76-0 CAPLUS
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6-phenyl-4-pyridinyl]- (9CI)
(CA INDEX NAME)

RN 404858-77-1 CAPLUS
CN 1H-Indazol-3-amine, 5-fluoro-N-[2-phenyl-6-[2-(trifluoromethyl)phenyl]-4pyridinyll- (9C1) (CA INDEX NAME)

RN 404858-78-2 CAPLUS
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6-phenyl-4-pyridinyl]-5-fluoro(9CI) (CA INDEX NAME)

RN 404858-79-3 CAPLUS
CN 4-Quinolinamine, 5,6,7,8-tetrahydro-N-1H-indazol-3-yl-2-{2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

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L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404858-72-6 CAPLUS
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6-methyl-4-pyridinyl]- (9CI)
(CA INDEX NAME)

RN 404858-73-7 CAPLUS
CN 1H-Indazol-3-amine, 5-fluoro-N-[2-methyl-6-[2-(trifluoromethyl)phenyl]-4pyridinyll- (9C1) (CA INDEX NAME)

RN 404858-74-8 CAPLUS
CN 1H-Indazol-3-amine, N-[2-(2-chloropheny1)-6-methyl-4-pyridinyl]-5-fluoro(9CI) (CA INDEX NAME)

RN 404858-75-9 CAPLUS CN 1H-Indazol-3-amine, N-[2-phenyl-6-(2-(trifluoromethyl)phenyl]-4-pyridinyl]-(9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404858-80-6 CAPLUS
N 4-Quinolinamine, 2-(2-chlorophenyl)-5,6,7,8-tetrahydro-N-1H-indazol-3-yl(9CI) (CA INDEX NAME)

RN 404858-B1-7 CAPLUS
CN 4-Quinolinamine, N-{5-fluoro-1H-indazol-3-yl}-5,6,7,8-tetrahydro-2-{2-(trifluoromethyl)phenyl}- (9CI) (CA INDEX NAME)

RN 404858-82-8 CAPLUS CN 4-Quinolinamine, 2-(2-chlorophenyl)-N-(5-fluoro-1H-indazol-3-yl)-5,6,7,8- 10/05/2004

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN tetrahydro- (9CI) (CA INDEX NAME)

404858-83-9 CAPLUS
1,8-Naphthyridin-4-amine,
-indazol-3-yl-2-[2-(trifluoromethyl)phenyl](9CI) (CA INDEX NAME)

404858-84-0 CAPLUS
IH-Indazol-3-amine, N-[3,4-dimethyl-6-[2-(trifluoromethyl)phenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

ANSWER 11 OF 13 CAPILUS COPYRIGHT 2004 ACS on STN (Continued) 1-Isoquinolinamine, 3-(2-chlorophenyl)-N-(5-cyclopropyl-1H-pyrazol-3-yl)-(9C1) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404858-88-4 CAPLUS CN 1-IBoquinolinamine, 3-(2-chlorophenyl)-N-(5-methyl-1H-pyrazol-3-yl)-(SCI)

(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-89-5 CAPLUS
CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-3,4-dimethyl-2-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404858-85-1 CAPLUS CN 1H-1ndazol-3-amine, N-[6-(2-chlorophenyl)-3,4-dimethyl-2-pyridinyl]-(SCI)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-86-2 CAPIUS
CN 1H-Indazol-3-amine, N-(3,4-dimethyl-6-[2-(trifluoromethyl)phenyl]-2pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN -404858-87-3 CAPLUS

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404858-90-8 CAPLUS
CN 1H·Indazol-3-amine,
N-[4-methyl-6-[2-(trifluoromethyl)phenyl]-2-pyridinyl](9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-91-9 CAPLUS
CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-4-methyl-2-pyridinyl)- (9CI)
(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-92-0 CAPLUS
CN 1H-Indacol-3-amine, 5-fluoro-N-[4-methyl-6-[2-(trifluoromethyl)phenyl]-2pyridinyl]- (9CI) (CA INDEX NAME)

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-93-1 CAPLUS
CN 1H-Indazol-3-amine, N-[6-{2-chlorophenyl}-4-methyl-2-pyridinyl}-5-fluoro(9Cl) (CA INDEX NAME)

DR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
404858-94-2 CAPLUS
1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-4-phenyl-2-pyridinyl)-5-fluoro(9C1) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-95-3 CAPLUS
CN 1-1800quinolinamine, 3-(2-chlorophenyl)-N-(5-fluoro-1H-indazol-3-yl)5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
404858-98-6 CAPLUS
HR-Inda201-3-amine, 5-fluoro-N-[4-phenyl-6-[2-(trifluoromethyl)phenyl]-2pyridinyl]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404858-99-7 CAPLUS CN 1-180quinolinamine, 5,6,7,8-tetrahydro-N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404859-00-3 CAPLUS
CN 1-leoquinolinamine, 3-(2-chlorophenyl)-5,6,7,8-tetrahydro-N-1H-indazol-3yl- (9CI) (CA INDEX NAME)

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404858-96-4 CAPLUS
CN 1H-Indazol-3-amine,
N-{4-phenyl-6-{2-(trifluoromethyl)phenyl}-2-pyridinyl}(9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-97-5 CAPLUS
CN 1H-Indazol-3-emine, N-{6-(2-chlorophenyl)-4-phenyl-2-pyridinyl}- (9CI)
(CA INDEX NAME)

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 404659-01-4 CAPLUS 1-1Boquinolinamine, N-{5-fluoro-lH-indazol-3-yl}-5,6,7,8-tetrahydro-3-[2-{trifluoromethyl}phenyl}- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404859-02-5 CAPLUS

CN 1,6-Naphthyridin-5-amine, 7-(2-chlorophenyl)-N-1H-indazol-3-yl- (9CI)

INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS On STN (Continued)
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404859-03-6 CAPLUS
CN 1,6-Maphthyridin-5-amine,
N-1H-indazol-3-yl-7-[2-(trifluoromethyl)phenyl](9C1) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE ONE OF MANCE ANTONINET ENGINEER AND STATEMENT AVAILABLE OF 2,6-Naphthyridin-1-amine, N-1H-inda201-3-yl-3-[2-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404859-05-8 CAPLUS
CN 1,7-Maphthyridin-8-amine,
N-1H-indazol-3-yl-6-[2-(trifluoromethyl)phenyl](9CI) (CA INDEX NAME)

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continue 404859-08-1 CAPLUS 2.4-Pyridinediamine, N4-{2-aminoethyl}-N2-1H-indazol-3-yl-6-{2-(trifluoromethyl)phenyl}- (9CI) (CA INDEX NAME)

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ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404859-09-2 CAPBUS
CN 1H-Indazol-3-amine, N-[4-{2-aminoethoxy}-6-[2-(trifluoromethyl)phenyl]-2pyridinyl}- (GCI ) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

404859-10-5 CAPLUS 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404859-11-6 CAPLUS CN 1H-Indazol-3-amine, N-[2-cyclohexyl-6-[2-(trifluoromethyl)phenyl]-4-

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ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404859-06-9 CAPLUS
CN 1H-Indazol-3-amine, N-[4-(1-piperidinyl)-6-[2-(trifluoromethyl)phenyl]-2pyridinyl]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404859-07-0 CAPLUS
CN 1H-Indazol-3-amine, N-[4-(1-piperazinyl)-6-[2-(trifluoromethyl)phenyl]-2pyridinyl]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN pyridinyl] - (9CI) (CA INDEX NAME) (Continued)

404859-12-7 CAPLUS
4-Pyridinamine, 2-cyclohexyl-N-(5-methyl-1H-pyrazol-3-yl)-6-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

404859-13-8 CAPLUS

4-Pyridinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-piperidinyl)-6-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

-v-ooy-14-y CAPAUS
1H-Indazol-3-amine, N-[2-(4-piperidinyl)-6-[2-(trifluoromethyl)phenyl]-4-pyridinyl]- (9C1) (CA INDEX NAME)

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

404859-15-0 CAPLUS
1,7-Naphthyridin-4-amine, N-(5-methyl-1H-pyrazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

404859-16-1 CAPLUS
IH-Indazol-3-amine, N-[2-cyclohexyl-6-[2-(trifluoromethyl)phenyl]-4-pyridinyl]-6-fluoro- (9CI) (CA INDEX NAME)

RN 404859-17-2 CAPLUS
CN 1,7-Naphthyridin-4-amine,
N-1H-indazol-3-yl-2-[12-(trifluoromethyl)phenyl](9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:171863 CAPLUS

TITLE: 136:232297

Preparation of pyrazole derivatives and their use as protein kinase inhibitors

COOPER, Christopher Blair; Helal, Christopher John; Sanner, Mark Allen; Wager, Travis T.

PATENT ASSIGNEE(S): SOURCE: Products Inc., USA

DOCUMENT TYPE: PROTECT Inc., USA

LANGUAGE: PYENDE PYENDE PETENT INFORMATION: PATENT INFORMATION:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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OTHER SOURCE(S): MARPAT 136:232297

AB Pyrazole derivs. [I; wherein Rl = straight chain or branched (C1-C1)alkyl, (C2-C8)alkynyl, (C3-C8)cycloalkyl, (C4-C8)cycloalkenyl,

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ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

404850-48-6 CAPLUS 4-Pyridinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(1-piperazinyl)-6-[2-(trifluoromethyl)phenyl)- (9Cl) (CA INDEX NAME)

REFERENCE COUNT:

(Continued)

FORMAT

ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (3-8 membered) heterocycloalkyl, (C5-C11)bicycloalkyl, (C7-C11)bicycloalkenyl, or (5-11 membered) heterobicycloalkyl; R2 - H, F, -CH3, -CN, or carboxy; R3 - amide, carboxy, etc.; R4 - straight chain or a branched (C1-C8)alkyl, (C2-C8)alkenyl, (C2-C8 alkynyl), (C3-C8)cycloalkyl, (C3-C8)cycloalkyl, (C3-C8)cycloalkyl, (C3-C8)cycloalkyl, (C5-C11)bicycloalkyl, (C7-C11)bicycloalkyl, (C5-C11)bicycloalkyl, ketnom was reacted with 4-nitrophenyl) isothicycnaste to give 53% 3-cyclobutyl-N-(4-nitrophenyl)-3-oxo-hydrazine to give 83% (5-cyclobutyl-1H-pyrazol-3-yl)-(4-nitrophenyl)amine.

The prepd. compds. are indicated to have activity inhibiting cdk2, cdk5, and GSK-3. In fact, all of the title compds. had an IC50 inhibiting peptide substrate phosphorylation of < 50 μM when assayed for cdk5 inhibition, and several had an IC50 for inhibition of GSK-3β of < 50 μM. JM 403595-66-2P 403595-63-1P 403595-64-2P 403595-66-1P 403595-66-1P 403595-66-1P 403597-00-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (preparation of pyrazole derive. and use as protein kinase inhibitors) 403595-56-2 CAPLUS 2-Pyridinamine, N-(5-cyclobuty1-1H-pyrazol-3-yl)-6-(trifluoromethyl)-(9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 403595-63-1 CAPLUS CN 2,6-Pyridinediamine, N'-(5-cyclobutyl-1H-pyrazol-3-yl)-N,N-dimethyl-(9C1) (CA INDEX NAME)

L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

4 03595-64-2 CAPLUS

CN 2-Pyridinamine, N-{5-ethyl-1H-pyrazol-3-yl}-6-methoxy- (9CI) (CA INDEX NAME)

OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 403595-65-3 CAPLUS 2-Pyridinamine, N-(5-cyclobutyl-lH-pyrazol-3-yl)-6-methoxy- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 403597-00-2 CAPLUS
CN 2-Pyridinamine, 6-methoxy-N-15-[cia-3-(2-methoxyphenyl)cyclobutyl]-1Hpyrazol-3-yl)- (9C1) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 13 OF 13
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:
INVENTOR(S):
SOURCE:
SOURCE:
DOCUMENT TYPE:
DOCUME

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 3755332 PRIORITY APPLN. INFO.: 19730828 US 1971-159061 US 1968-725176 19710701 US 1969-818044 19690421

OS 1989-818044 19690421

For diagram(s), see printed CA Issue.

About 45 quinolinaminoindazoles I (R = H, Me, CO2H, Ph, etc.; R1 = H, CO2Et; R2 = H, 7-F3C, 7-C1; R3 = H, Me; R4 = H, 3-C1; the quinolinamino group attached at the 3, 5, and 6 position of the indazole) were prepared Thus, 6-aminoindazole was treated with 4,7-dichloroquinoline to give I (R = R1 = R3 = R4 = H, R2 = C1, the quinolinamino group attached at the 6-position of the indazole). I were antiinflammatory, antihypertensive, and antimalarial at 10-400 mg/kg.

50592-90-07 50592-91-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of C)
50592-90-0 CAPLUS

4-Quinolinamine, N-1H-indazol-3-yl-2-methyl- (9CI) (CA INDEX NAME)

50592-91-1 CAPLUS 4-Quinolinamine, N-(6-chloro-1H-indazol-3-y1)-2-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE REPERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 13 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

10/736,426

Page 3

G1:C,O,S,N,Cb,Ak

G2:C,O,S,N,CH,SO2,NH,NH2,CH2,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 15:CLASS 16:Atom 17:Atom

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

G1 C,O,S,N,Cb,Ak

G2 C,O,S,N,CH,SO2,NH,NH2,CH2,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 13:43:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 112 TO ITERATE

100.0% PROCESSED

112 ITERATIONS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

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PROJECTED ITERATIONS:

1606 TO 2874

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FULL SEARCH INITIATED 13:44:05 FILE 'REGISTRY'
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Page 4

100.0% PROCESSED 2199 ITERATIONS

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SEARCH TIME: 00.00.01

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FULL ESTIMATED COST

ENTRY 155.42 SESSION 155.63

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FILE COVERS 1907 - 5 Oct 2004 VOL 141 ISS 15 FILE LAST UPDATED: 4 Oct 2004 (20041004/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2004:370926 CAPLUS

DOCUMENT NUMBER:

140:391292
Preparation of indazolinone compositions useful as kinase inhibitors
Aronov, Alex; Lauffer, David J.; Li, Huan Qui; Tomlinson, Ronald Charles; Li, Pan Vertex Pharmaceuticals Incorporated, USA PCT Int. Appl. . 260 pp.
CODEN: PIXXD2
Patent TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English

KIND DATE APPLICATION NO. W0 2004037814 A1 20040506 W0 2003-US34065 20031027
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GR, GH, GM, HR, HU, ID, ILL IN, 15, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MZ, MQ, ND, NZ, OM, PH, PL, PT, RO, RU, SD, ES, GS, KS, KI, TJ, TM, TM, TT, TT, Z, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, RM: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2004167121 PRIORITY APPLN. INFO.: US 2003-694534 US 2002-421398P

20021025

OTHER SOURCE(S): MARPAT 140:391292

The present invention provides compds. of formula (I). (Wherein R1, R2  $\pm$  H or a nitrogen protecting group; one of R3 or R4  $\pm$  R and the other one

R3 or R4 = -01-A-Q2-Y; wherein Q1 = a valence bond, NRa, C(Ra)2, S, O, SO2, NRaSO2, SO2NRa, CO, NRaCO, CONRa, CC(O), C(O)O, OC(O)NRa, 1,2-cyclopropanedily1, 1,2-cyclobutanediy1, or 1,3-cyclobutanediy1, optionally substituted C2-4 alkylidene, etc.; wherein Ra = M, each

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 65567-15-6 CAPINS OF STRUCTURE RN 3H-INDAZOL-3-no-6 5''...

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ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

OF MOME INVIDENCE OF SERVICE OF THE STRUCTURE 689867-16-7 CAPILLS
3H-Indazol-3-one, 6-[[5-amino-6-[[5-cyclopropyl-1H-pyrazol-3-yl]amino]-2pyridnylamino]-1,2-dihydro- [9CI] (CA INDEX NAME)

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) optionally substituted C1-4 sliph.; A = optionally substituted 5-to 7-membered monocyclic or 8- to 10-membered bicyclic aryl, heteroaryl, heterocyclic, carbocyclic ring, or C2-6 alkylidene, etc.; Q2 = NRC, SO,

or C(Rc)2; wherein Rc = H, optionally substituted C1-4 aliph.; Y = each optionally substituted 5- to 7-membered monocyclic or 8- to 10 membered bicyclic aryl, heteroaryl, heterocyclic, or carbocyclic ring; R5 = R; Z = N, CRS; wherein R6 = R; R = H, halo, Q-halogen, cyano, Q-CN, NO2, Q-NO2, R7, Q-R7; Q = optionally substituted C1-4 alkylidene; wherein one or more methylene units of Q is optionally replaced by Q, S, NR7, NR7CO, NN7.

R7, 0-R7: 0 \* optionally substituted C1-\* early leaves. The content of O is optionally replaced by O, S, NRT, NRTCO, NRTCONRT, NRTCO2, CO, CO2, CONRT, OC(O)NRT, SO2, SO2NRT, NRTSO2, NRTSO2NRT, C(O)C(O) or C(O)C(RT)2C(O): wherein R7 \* H, each optionally substituted aligh, heteroaligh, aryl or heteroaryll. The compds: I and pharmaceutically scceptable compns. thereof, are useful generally as protein kinase inhibitors, particularly as inhibitors of protein kinase PRAK, protein kinase SSK3, protein kinase ERK2, protein kinase CDK2, MAP kinase-activated protein kinase 2 (WK2), SRC kinase, protein kinase STK, and protein kinase alurora-2. Accordingly, the compds. I and compns. of the invention are useful for treating or lessening the severity of a disease or condition selected from cardiovascular disease, diabetes, neurol. disorders (e.g. Alxheimer's disease), immunodeficiency disorders, inflammatory disease, aluron mount of the composition of the conditions and composition of the conditions of the conditions

shown to have Ki of <0.1 µM for GSK-3 and Aurora-2 and <1.0 µM for CDK-2, ERK2, PRAK, SRC, SYK, and MK2.

65867-11-4P, 6-{[6-([6-Cyclopropyl-1H-pyrazol-3-yl)amino}-5-nitropyridin-2-yl]amino]-1,2-dihydroindazol-3-one 685867-15-6P,
6-[[6-([5-Cyclopropyl-1H-pyrazol-3-yl)amino]-3-nitropyridin-2-yl]amino]-1,2-dihydroindazol-3-one 685867-16-7P, 6-[[6-maino-6-[(6-

cyclopropyl-1H-pyrazol-3-yl)amino[pyridin-2-yl]amino]-1,2-dihydroindazol-3-

one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of indazolinone derivs. as kinase inhibitors for

[preparation of indazolinone derivs. as kinase inhibitors for treating or lessening severity of diseases or conditions)

RN 685867-13-4 CAPLUS

3H-Indazol-3-one, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-5-nitro-2-pyridinyl]amino]-1,2-dihydro- (9CI) (CA INDEX NAME)

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

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Page 2

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 4 OCT 2004 HIGHEST RN 756793-93-8 DICTIONARY FILE UPDATES: 4 OCT 2004 HIGHEST RN 756793-93-8

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

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L1 STRUCTURE UPLOADED

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G1 C, O, S, N, Cb, Ak

G2 C,O,S,N,CH,SO2,NH,NH2,CH2,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

10/736,426

Page 3

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 13:41:26 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 215 TO ITERATE

100.0% PROCESSED 215 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

0

PROJECTED ITERATIONS:

3421 TO 5179

PROJECTED ANSWERS:

O TO

0 SEA SSS SAM L1

≃> s l1 sss full

FULL SEARCH INITIATED 13:41:42 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 4063 TO ITERATE

100.0% PROCESSED 4063 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L3

0 SEA SSS FUL L1

=> log y

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.63

STN INTERNATIONAL LOGOFF AT 13:41:47 ON 05 OCT 2004